

**(19) World Intellectual Property  
Organization  
International Bureau**



**(10) International Publication Number**  
**WO 2004/056362 A2**

**PCT**

- (51) **International Patent Classification**<sup>7</sup>: **A61K 31/415**
- (21) **International Application Number**:  
PCT/EP2003/014554
- (22) **International Filing Date**:  
18 December 2003 (18.12.2003)
- (25) **Filing Language**: English
- (26) **Publication Language**: English
- (30) **Priority Data**:  
02028672.0 20 December 2002 (20.12.2002) EP
- (71) **Applicant (for all designated States except US)**: **ALTANA PHARMA AG** [DE/DE]; Byk-Gulden-Str. 2, 78467 Konstanz (DE).
- (72) **Inventors; and**
- (75) **Inventors/Applicants (for US only)**: **KOEK, Jan** [NL/NL]; Schoolstraat 16, NL-9771 BK SAUWERD (NL). **KOHL, Bernhard** [DE/DE]; Zum Brühl 9, 78465 Konstanz (DE). **SENN-BILFINGER, Jörg** [DE/DE]; Säntisstrasse 7, 78464 Konstanz (DE). **VRIES, Ton** [NL/NL]; Troelstralaan 56, NL-9722 JM GRONINGEN (NL).
- (74) **Agent**: **WOLF, Ulrich**; c/o ALTANA Pharma AG, Byk-Gulden-Str.2, 78467 Konstanz (DE).
- (81) **Designated States (national)**: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW.
- (84) **Designated States (regional)**: Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).
- Declarations under Rule 4.17:**
- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, VN, YU, ZA, ZW, Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR)*
- *of inventorship (Rule 4.17(iv)) for US only*
- Published:**
- *without international search report and to be republished upon receipt of that report*
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

**Declarations under Rule 4.17:**

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, VN, YU, ZA, ZW, Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR)

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— without international search report and to be republished upon receipt of that report

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: SILYL ETHERS

**(57) Abstract:** Compounds of the formula 1, in which the substituents have the meanings mentioned in the description, are valuable intermediates for preparing active compounds for the prevention and treatment of gastro-intestinal diseases.

**WO 2004/056362 A2**

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number  
**WO 2004/056362 A3**

(51) International Patent Classification<sup>7</sup>: **A61K 31/415**,  
31/435, C07D 221/02, 235/02, 471/14, C07F 7/18

(81) Designated States (*national*): AE, AL, AU, BA, BR, CA,  
CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT,  
LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN,  
YU, ZA, ZW.

(21) International Application Number:  
PCT/EP2003/014554

(22) International Filing Date:  
18 December 2003 (18.12.2003)

(84) Designated States (*regional*): Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(25) Filing Language: English

**Declarations under Rule 4.17:**

(26) Publication Language: English

— *as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID,  
IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL,  
SG, TN, UA, VN, YU, ZA, ZW, Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR)*  
— *of inventorship (Rule 4.17(iv)) for US only*

(30) Priority Data:  
02028672.0 20 December 2002 (20.12.2002) EP

(71) Applicant (*for all designated States except US*): ALTANA  
PHARMA AG [DE/DE]; Byk-Gulden-Str. 2, 78467 Kon-  
stanz (DE).

**Published:**

— *with international search report*  
— *before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments*

(72) Inventors; and

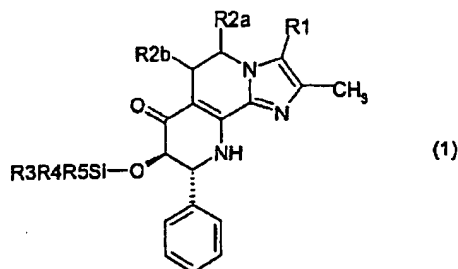
(75) Inventors/Applicants (*for US only*): KOEK, Jan  
[NL/NL]; Schoolstraat 16, NL-9771 BK SAUWERD  
(NL). KOHL, Bernhard [DE/DE]; Zum Brühl 9, 78465  
Konstanz (DE). SENN-BILFINGER, Jörg [DE/DE];  
Säntisstrasse 7, 78464 Konstanz (DE). VRIES, Ton  
[NL/NL]; Troelstralaan 56, NL-9722 JM GRONINGEN  
(NL).

(88) Date of publication of the international search report:  
2 September 2004

(74) Agent: WOLF, Ulrich; c/o ALTANA Pharma AG, Byk-  
Gulden-Str.2, 78467 Konstanz (DE).

*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: 8-TRIALKYSYLOXY-2-METHYL-9-PHENYL-7-0 XO-7,8,9,10- TETRAHYDROIMIDAZO '1,2-H' '1,7' NAPH-  
THYRIDINES



(57) Abstract: Compounds of the formula (1), in which R1 is hydrogen, methyl or hydroxymethyl, R2a and R2b are both hydro-  
gen or together denote a bond, R3 is 1-7C-alkyl, R4 is 1-7-alkyl and R5 is 1-7C-alkyl, and its salts, are valuable intermediates for  
preparing active compounds for the prevention and treatment of gastrointestinal diseases.

WO 2004/056362 A3